

 **PALM INTRANET**

Day : Thursday

Date: 1/6/2005

Time: 16:03:50

## Inventor Information for 10/734882

Inventor Name	City	State/Country
CHILDERS, WAYNE E.	NEW HOPE	PENNSYLVANIA
KELLY, MICHAEL G.	THOUSAND OAKS	CALIFORNIA
SCHECHTER, LEE E.	TOMS RIVER	NEW JERSEY
ROSENZWEIG-LIPSON, SHARON J.	EAST BRUNSWICK	NEW JERSEY

Appin Info	Contents	Petition Info	Atty/Agent Info	Continuity Data	Foreign Data
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Search Another: Application# or Patent# PCT /  / or PG PUBS # Attorney Docket # Bar Code # 

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Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	352	514/255.03	USPAT	OR	OFF	2005/01/06 15:50
L2	7960	\$serotonin\$	USPAT	OR	OFF	2005/01/06 15:50
L3	72	l1 and l2	USPAT	OR	OFF	2005/01/06 15:50

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containing 1 : 10 : 22 :

G1:CH3,Et

Match level :

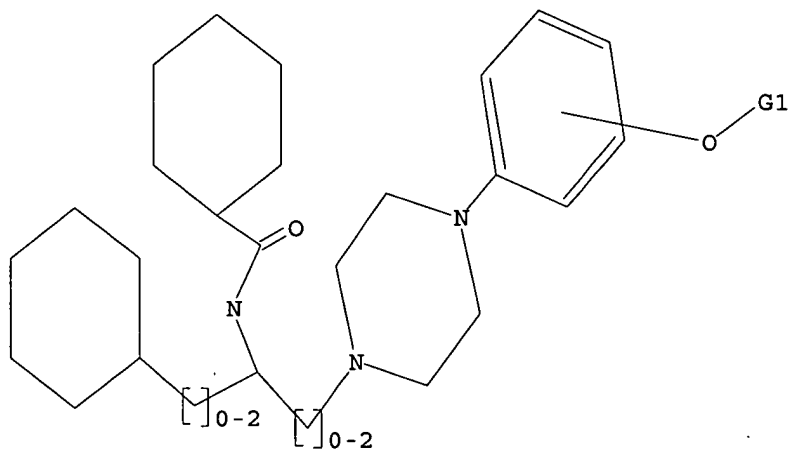
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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:CLASS  
29:CLASS 30:CLASS 31:CLASS 33:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Me,Et

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:40:15 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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11 ANSWERS

L3 11 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 15:40:25 ON 06 JAN 2005

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FILE COVERS 1907 - 6 Jan 2005 VOL 142 ISS 2

FILE LAST UPDATED: 5 Jan 2005 (20050105/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 3 L3

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ask  
work

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:832771 CAPLUS

DOCUMENT NUMBER: 137:337919

TITLE: Preparation of piperazines as serotonin 5-HT1A receptor antagonists with long duration of in vivo effects and as radioligands for binding studies  
 INVENTOR(S): Childers, Wayne Everett; Kelly, Michael Gerard; Schechter, Lee Erwin; Rosenzweig-Lipson, Sharon Joy  
 PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA  
 SOURCE: PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

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WO 2002085871	A2	20021031	WO 2002-US10274	20020402
WO 2002085871	A3	20030220		

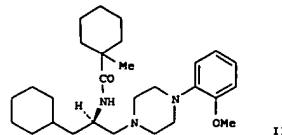
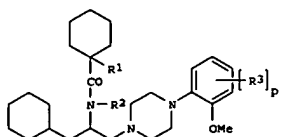
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TM  
 RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001191135 A1 20031009 US 2002-114577 20020402  
 US 6696450 B2 20040224  
 US 2004127505 A1 20040701 US 2003-734882 20031212  
 US 2001-281542P P 20010404  
 PRIORITY APPL. INFO.: US 2002-114577 A3 20020402

OTHER SOURCE(S): MARPAT 137:337919  
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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

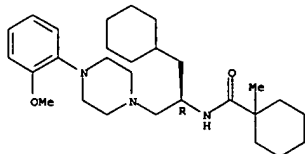


AB Title compds. I [R1, R2 = H, alkyl; R3 = radiolabel, e.g., 3H, 13C, 14C etc.; p = 0, 1] and their pharmaceutically acceptable salts were prepared  
 For example, coupling of [(1R)-1-cyclohexylmethyl-2-(4-(2-methoxyphenyl)piperazin-1-yl)ethyl]amine and 1-methylcyclohexanecarboxylic acid chloride provided claimed piperazine II in 63 % yield. In human serotonin 5-HT1A receptor binding assays, compound II exhibited an IC50 value of 1.23 nM. Compds. I have a long duration of action, with half-lives measured by days rather than hours, making them useful for the treatment of chronic diseases resulting from the dysfunction of the serotonergic 5-HT1A system. Also, radiolabeling of compds. I are claimed useful as ligands for binding studies, for in vitro and in vivo imaging of cells and for use in positron emission topog. (PET) studies in mammals.

IT 252894-71-6P 474013-04-2P 474013-09-7P 474013-13-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of piperazines as serotonin 5-HT1A receptor antagonists with long duration of in vivo effects and as radioligands for binding studies)  
 RN 252894-71-6 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

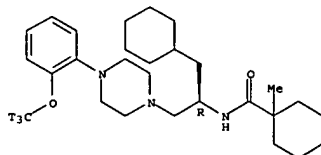
Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



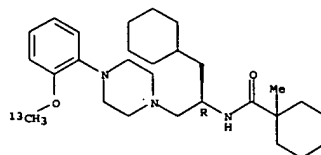
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 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxy-13C)phenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 474013-09-7 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxy-13C)phenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

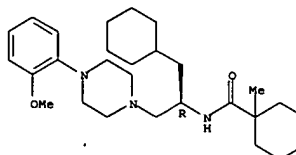


RN 474013-12-2 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Habe

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

● H2O

01/06/2005

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:309881 CAPLUS

DOCUMENT NUMBER: 136:325567

TITLE: Preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents

INVENTOR(S): Childers, Wayne E.; Kelly, Michael G.; Palmer, Yvette L.; Podlesny, Edward J.

PATENT ASSIGNER(S): American Home Products Corporation, USA

SOURCE: U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 333,158, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

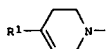
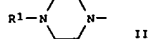
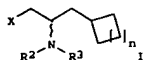
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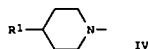
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US 2002156075	A1	20021024	US 2002-107866	20020327
US 6518272	B2	20030211		
US 2003139449	A1	20030724	US 2003-340087	20030110
PRIORITY APPLN. INFO.:			US 1998-135107P	P 19980615
			US 1999-333158	B2 19990614
			US 2000-723478	A3 20001128
			US 2002-107866	A3 20020327

OTHER SOURCE(S): MARPAT 136:325567

GI



III

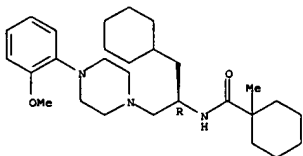


IV

AB The title compds. [I; X = II-IV; n = 1-5; R1 = (un)substituted C6-10-aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiazazole; R2 = H, alkyl; R3 = H, COR5, COR5R6; R4 = H, alkyl, alkenyl, etc.; R5, R6 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts which have activity as 5-HT1A agonists

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

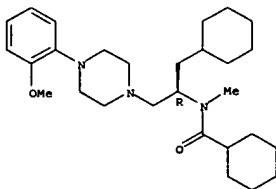


● HCl

RN 252894-56-7 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

RN 252894-57-8 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-N,1-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

and antagonists and therefore may be useful for the treatment of anxiety and depression, were prep. Thus, reacting

[(1R)-1-cyclohexylmethyl-2-[(4-(2-methoxyphenyl)piperazin-1-yl)ethyl]amine with cyclohexanecarboxylic acid chloride in the presence of Et3N in CH2Cl2 afforded 85% (1R)-1,2HCl [X = H (R1 = 2-MeOC6H4); n = 3; R2 = H; R3 = cyclohexylcarbonyl] which showed IC50 of 0.57 nM against 5-HT1A receptor binding.

IT 252894-56-5P 252894-55-6P 252894-56-7P 252894-57-8P 252894-70-5P 252894-71-6P 252894-72-7P 252894-73-8P

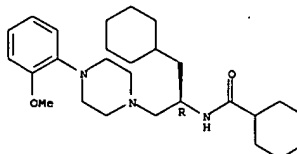
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents)

RN 252894-54-5 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

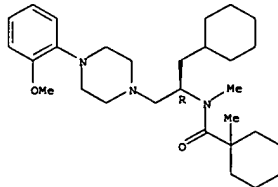
RN 252894-55-6 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

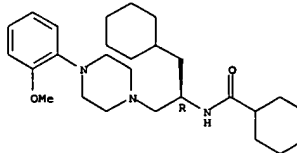


● 2 HCl

RN 252894-70-5 CAPLUS

CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]- (9CI) (CA INDEX NAME)

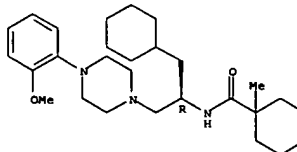
Absolute stereochemistry.



RN 252894-71-6 CAPLUS

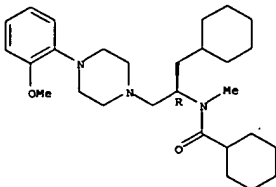
CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl)-1-piperazinyl)methyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



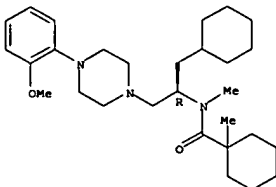
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 RN 252894-72-7 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-73-8 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



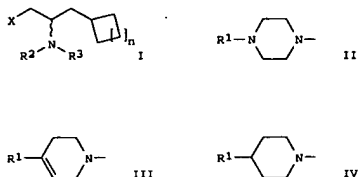
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L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:811224 CAPLUS  
 DOCUMENT NUMBER: 132:49981  
 TITLE: Preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents  
 INVENTOR(S): Childers, Wayne Everett; Kelly, Michael Gerard; Palmer, Yvette Latko; Podlesny, Edward James  
 PATENT ASSIGNEE(S): American Home Products Corporation, USA  
 SOURCE: PCT Int. Appl. 32 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965887	A1	19991223	WO 1999-US13397	19990614
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RM:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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AU 9945663	A1	20000105	AU 1999-45663	19990614
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EP 1087954	A1	20010404	EP 1999-928648	19990614
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JP 2002518382	T2	20020625	JP 2000-554713	19990614
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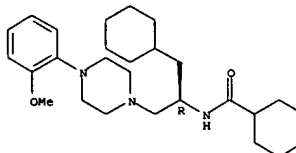
OTHER SOURCE(S): MARPAT 132:49981  
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L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. [I; X = II-IV; n = 1-5; R1 = C6-10-aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiazole; R2 = H, alkyl; R3 = H, COR5, CO2R5, CONR5R6; R4 = H, alkyl, alkenyl, etc.; R5, R6 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts which have activity as 5-HT1A agonists and antagonists and therefore may be useful for the treatment of anxiety, depression, cognitive deficits, prostate cancer, nausea, and vomiting, were prepared. Thus, reacting [(1R)-1-cyclohexylmethyl-2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]amine with cyclohexanecarboxylic acid chloride in the presence of Et3N in CH2Cl2 afforded 85% (1R)-I.2HCl [X = II (R1 = 2-MeOC6H4); n = 3; R2 = H; R3 = cyclohexylcarbonyl] which showed IC50 of 0.57 nM against 5-HT1A receptor binding.  
 IT 252894-54-SP 252894-55-6P 252894-56-7P  
 252894-57-6P 252894-70-5P 252894-71-6P  
 252894-72-7P 252894-73-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents)  
 RN 252894-54-5 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

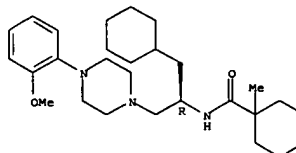
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● 2 HCl

RN 252894-55-6 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

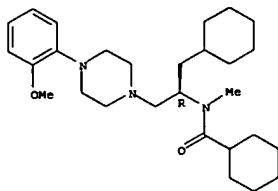
Absolute stereochemistry.



● HCl

RN 252894-56-7 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]ethyl]-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

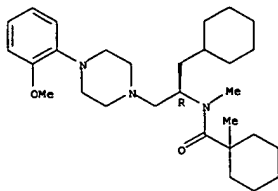
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● 2 HCl

RN 252894-57-8 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-N,1-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



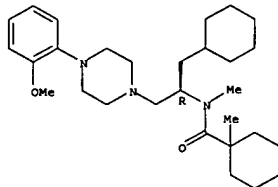
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 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

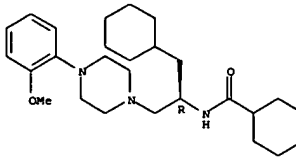
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 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



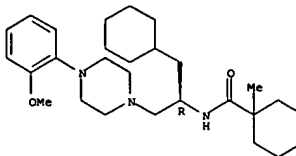
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



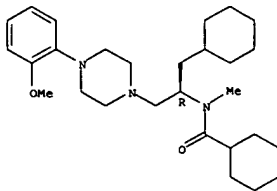
RN 252894-71-6 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-72-7 CAPLUS  
 CN Cyclohexanecarboxamide, N-[(1R)-2-cyclohexyl-1-[(4-(2-methoxyphenyl))-1-piperazinyl]methyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252894-73-8 CAPLUS